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STN STRUCTURE SEARCH (REGISTRY/CAPLUS)
CLAIMS 1-3

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LOGINID: SSPTAJMN1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * * * * * * * * * Welcome to STN International
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NEWS 1
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NEWS 3 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 4 AUG 13 CA/Caplus enhanced with additional kind codes for granted
                 patents
NEWS
         AUG 20
                 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 6 AUG 27
                 Full-text patent databases enhanced with predefined
                 patent family display formats from INPADOCDB
     7 AUG 27
                 USPATOLD now available on STN
NEWS
NEWS 8 AUG 28 CAS REGISTRY enhanced with additional experimental
                 spectral property data
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                 1967-1998
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                 patents
NEWS 14 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 15 OCT 02 CA/Caplus enhanced with pre-1907 records from Chemisches
                 Zentralblatt
NEWS 16 OCT 19 BEILSTEIN updated with new compounds
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NEWS 22 DEC 17 USPATOLD added to additional database clusters
NEWS 23 DEC 17 IMSDRUGCONF removed from database clusters and STN
NEWS 24 DEC 17 DGENE now includes more than 10 million sequences
NEWS 25 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in
                 MEDLINE segment
         DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 26
NEWS 27
         DEC 17
                CA/CAplus enhanced with new custom IPC display formats
NEWS 28
         DEC 17
                 STN Viewer enhanced with full-text patent content
                 from USPATOLD
NEWS 29
         JAN 02
                 STN pricing information for 2008 now available
NEWS 30
         JAN 16 CAS patent coverage enhanced to include exemplified
                 prophetic substances
NEWS 31 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new
```

custom IPC display formats

NEWS 32 JAN 28 MARPAT searching enhanced

NEWS 33 JAN 28 USGENE now provides USPTO sequence data within 3 days of publication

NEWS 34 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment

NEWS 35 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements

NEWS 36 FEB 08 STN Express, Version 8.3, now available

NEWS 37 FEB 20 PCI now available as a replacement to DPCI

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 24 JANUARY 2008

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FILE 'HOME' ENTERED AT 10:22:52 ON 21 FEB 2008

=> FIL REG

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 20 FEB 2008 HIGHEST RN 1004854-20-9 DICTIONARY FILE UPDATES: 20 FEB 2008 HIGHEST RN 1004854-20-9

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10528982\1.str

```
chain nodes :
10 11 12 13 14 15 16 18 20 21
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
7-13 \quad 9-10 \quad 10-11 \quad 10-12 \quad 13-14 \quad 13-20 \quad 13-21 \quad 14-15 \quad 14-16 \quad 16-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 7-8 7-13 8-9 10-11 10-12 14-15 16-18
exact bonds :
6-9 9-10 13-14 13-20 13-21 14-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1:
```

G1:H,Ak

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS 20:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

=> D

L1 HAS NO ANSWERS

L1 STR

G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> S L1

SAMPLE SEARCH INITIATED 10:23:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 122 TO ITERATE

100.0% PROCESSED 122 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*
PROJECTED ITERATIONS: 1778 TO 3102

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> D SCAN

L2 HAS NO ANSWERS

=> S L1 FULL

FULL SEARCH INITIATED 10:23:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2670 TO ITERATE

100.0% PROCESSED 2670 ITERATIONS 6 ANSWERS

SEARCH TIME: 00.00.01

L3 6 SEA SSS FUL L1

=> D SCAN

=> D HIS

(FILE 'HOME' ENTERED AT 10:22:52 ON 21 FEB 2008)

FILE 'REGISTRY' ENTERED AT 10:23:17 ON 21 FEB 2008

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 6 S L1 FULL

=> FIL CAPLUS

<del>--cost--in-u-s---boll</del>àrs

SINCE FILE TOTAL ENTRY SESSION 178.82 179.03

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:24:29 ON 21 FEB 2008
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FILE COVERS 1907 - 21 Feb 2008 VOL 148 ISS 8 FILE LAST UPDATED: 20 Feb 2008 (20080220/ED)

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http://www.cas.org/infopolicy.html

=> S L3

L4

8 L3

=> D IBIB ABS HITSTR TOT

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:619587 CAPLUS

DOCUMENT NUMBER: 147:31554

Transition metal compound, ligand system, catalyst TITLE: Transition metal compound, ligand system, cat system and process for preparing polyolefins Mihan, Shahram; Bildstein, Benno; Solchinger, Alexander; Koelling, Lars
Basell Polyolefine G.m.b.H., Germany
PCT Int. Appl., 61pp.
CODEN: PIXXD2

INVENTOR(S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

1000	PATENT NO.					D	DATE		APPLICATION NO.							DATE			
	WO 8007062790 WO 2008062790			A2 A3		2007		WO 2006-EP11343						20061127					
	W:	AL	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	- CO2	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GP:	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,		
		KP,	KR,	KZ,	Live	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,		
		MN,	MW,	MX,	MY,	ME	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,		
		RS,	RU,	SC,	SD,	SE,	36.	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR,	TT,		
		TZ,	UA,	UG,	US,		VC,		ZA,	ZM,	ZW								
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
		IS,	IT,	LT,	LU,							SE,							
			CG,				GN,	GQ,				NE,				BW,			
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
							TM,					1	· ·						
				A1		2007	0531		DE 2	005-	1020	0505	2559	2	0051	130			
PRIO	RITY APP	LN.	INFO	. :						DE 2	005-	1020	0505	7555	2	0051	130		

US 2005-753272P

MARPAT 147:31554 OTHER SOURCE(S):

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) polyolefins by polymn. or copolymn. of at least one olefin in the presence of at least one of the catalyst systems according to the invention and

use of the ligand systems according to the invention for prepg.

use of the ligand systems according to the result of metal composition of the composition of the selected from the group consisting of the elements N, O, P, S, As and Sb, and m is an integer from 1 to 10, ligand systems having such a substitution pattern, ligand systems comprising at least

of the transition metal compds. according to the invention, a process for preps, polyolefins by polymn. or copolymn. of at least one olefin in the presence of at least one of the catalyst systems according to the invention and the use of the ligand systems according to the invention

prepg. transition metal compds.
938072-97-0F
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation);

(Reactant or reagent)

(building block; preparation of transition metal complexes of iminomethylpyrazole derivs. for catalysts for production of polyolefins)
RN 938072-97-0 CAPLUS
CN 1H-Indazole-3-carboxaldehyde, 1-(3,3-dimethyl-2-oxobutyl)- (CA INDEX

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

02/21/2008

The present invention relates to transition metal compds. of the formula  $I_{\rm f}$  where M is an element of group 3, 4, 5, 6, 7, 8, 9 or 10 of the Periodic Table of the Elements or the lanthanide's, the radicals X are identical or different and are each an organic or inorg. radical, with

radicals X also being able to be joined to one another to form a divalent radical, is 1 , 2, 3 or 4, L1 is an organic or inorg. uncharged ligand,

n integer from 0 to 4, R1 is an organic radical having from 1 to 40 carbon atoms, R2 is hydrogen or an organic radical having from 1 to 40 carbon

atoms, or R1 and R2 together form a divalent organic group T1 which has from 2 to 40

carbon atoms and together with the atoms connecting its ends forms a monocyclic or polycyclic ring system which may in turn be substituted and may comprise one or more further heteroatoms selected from the group consisting of the elements O, S, Se, Te, N, P and. As in the ring

system,
R3 is hydrogen or an organic radical having from 1 to 40 carbon atoms,

hydrogen or an organic radical having from 1 to 40 carbon atoms, or R3 and R4

together form a divalent organic group T2 which has from 2 to 40 carbon atoms

s
and together with the atoms connecting its ends forms a monocyclic or
polycyclic ring system which may in turn be substituted and may comprise
one or more heteroatoms selected from the group consisting of the elements

ents O, S, Se, Te, N, P and As in the ring system, R5 is an uncharged or neg. charged organic radical which has from 1 to 40 carbon atoms and may

comprise

a heteroatom selected from the group consisting of the elements N, O, P,
S, As and Sb, and m is an integer from 1 to 10. Ligand systems having
such a substitution pattern, ligand systems comprising at least one of

transition metal compds. according to the invention, a process for

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN SSION NUMBER: 2007:589276 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

US COPYRIGHT 2008 ACS on STN 2007:589276 CAPLUS 147:31548
Transition metal compound, iminomethylpyrazole derivative ligand system, catalyst system and procedure for the production of polyolefins Basell Polyolefine G.m.b.H., Germany Ger. Offen., 41pp. CODEN: GWXXBX
Patent GWXXBX
German 2

PATENT ASSIGNEE(S):

DOCUMENT TYPE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATI	ENT:	NO.			KIN	D	DATE			APPL:	ICAT:	ION I	NO.		Di	ATE	
100			0505 0627			A1 A2		 2007 2007					1020 EP11:		7559	_	0051:	
		2007	0623	<b>9</b> 0.		A3		2007			nO 2	000	LF II.	545			3001	12/
		W:	ΑE,	AG;													CA,	
			CN,	co,	CR.			DE,									GB,	GD,
			GE,	GH,	GM,	Gin		HR,							KΕ,			KN,
			KP,	KR,	KZ,	LA,	LC.	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
			MN,	MW,	MX,	MY,	MZ,	NA	NG.	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
			RS,	RU,	SC,	SD,	SE,	SG,	Sit	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA	ZM,	zw						
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE:	ES,	FI,	FR,	GB,	GR,	HU,	IE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	Ro.	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE.	SN,	TD,	TG,	BW,	GH,
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	2M	ZW,	AM,	AZ,	BY,
			KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA			Section.			
RIOR	ITY	APP:	LN.	INFO	. :						DE 21	005-	1020	0505	7559	2000	0051	130
																•	and the	

US 2005-753272P

MARPAT 147:31548 OTHER SOURCE(S):

The invention concerns transition metal compds. I, where M an element of Group 3, 4, 5, 6, 7, 8, 9 or 10 or a lanthanide, X is and an organic or

PR

P 20051228

ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) inorg. group, whereby two X groups can be linked also with one another to a divalent group, n is 1, 2, 3 or 4, 11 is an org. or inorg. neutral liqand, h is 0 to 4, R1, R2, R3, R4 are org. groups with 1 to 40 carbon atoms or R1 and R2 and R3 and R4 together form one divalent org. group T1 with 2 to 40 carbon atoms, which forms a (substituted) mono- or greelie

with 2 to 40 cases. -polycyclic
ring system optionally contg. heteroatoms selected from S, Se, Te, N, P
and As, R5 is a neutral or neg. charged group contg. 1-40 carbon atoms

optionally  $\geq 1$  heteroatom selected from  $\circ$ , S, Se, Te, N, P and As, and m is 1-10 which are highly active catalysts for polymn. of olefins.

typical catalyst was manufd. by redn. of indazole-3-carboxylic acid, oxidn. of the intermediate alc., reaction of the resulting aldehyde with 2-picolyl chloride, reaction of the resulting aldehyde with 2,6-diisopropylaniline, and complexation of the resulting ligand with FeCl2.

FeCl2. 938072-97-0P RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation);

(Reactant or reagent)
(liquand precursor; transition metal complexes of iminomethylpyrazole derive, for catalysts for production of polyolefins)
938072-97-0 CAPLUS
1H-Indazole-3-carboxaldehyde, 1-(3,3-dimethyl-2-oxobutyl)- (CA INDEX NAME)

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

This invention relates to potent selective agonists of the EP4 subtype of prostaqlandin E2 receptors I, wherein R represents (CB2)xCOOR3, (CB2)xC3-10 cycloalkyl, -(CB2)xC3-10 heterocyclyl, (CB2)xC5-10 aryl, said cycloalkyl, heterocyclyl, and aryl substituted with R2; provided that AB

R is -(CH2)nC3-10 heterocyclyl it does not represent thienyl; Rl independently represents hydrogen, Cl-6-alkyl, halogen, CF3, aryl, said aryl optionally substituted with 1-3 groups of halogen, Cl-6 alkyl, CF3, or N(R4)2; R2 represents COGR3 or a carboxylic acid isostere; R3 and R4 independently represent B, or Cl-6-alkyl; n represents 0-3; x is 2-5, their use or a formulation thereof in the treatment of glaucoma and other conditions, which are related to elevated intraocular pressure in the eye of a patient. This invention further relates to the use of the compds.

of this invention for mediating the bone modeling and remodeling processes

the osteoblasts and osteoclasts. Thus, oxazine II was prepared and

the osteoplasts and osteoclasts. Inus, osazine 11 was prepared and ed in rats as Ep4 receptor agonist in osteoblastic cell lines and in bone tissue. Effects of an EP4 agonist on intraocular pressure in rabbits and monkeys, are reported. Title compds. showed improved ocular tolerability in animal species such as rabbits and cynomolgus monkeys. The activity range of the compds. of this invention for bone use is between 0.01 and 100,000 nM. Stable expression of prostanoid receptors in the human embryonic kidney (HEK) 293 (EBNA) cell line is reported. 691899-65-7, 1-(3-Isobutyry1-6-methoxy-1H-indazo1-1-y1)-3,3-dimethylbutan-2-one 866465-62-5, 1-[3-(3-Hydroxypropanoy1)-6-methoxy-1H-indazo1-1-y1]-3,3-dimethylbutan-2-one RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of oxazine derivs. as Ep4 receptor agonists antiglaucoma agents)

agents) 691899-65-7 CAPLUS

DOCUMENT NUMBER: 146:229363
Preparation of oxazine derivatives as Ep4 receptor agonists and antiglaucoma agents
Colucci, John; Han, Yongxin; Farand, Julie A.
Merck Frosst Canada Ltd., Can.
PCT Int. Appl., 54pp.
CODEN: PIXXD2
Fatent 146:229363 TITLE: INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: English

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:144056 CAPLUS

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. 

KG, KZ, M PRIORITY APPLN. INFO.:

MARPAT 146:229363 OTHER SOURCE(S):

ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 2-Butanone, 1-[6-methoxy-3-(2-methyl-1-oxopropyl)-1H-indazol-1-yl]-3,3-dimethyl- (CA INDEX NAME)

866465-62-5 CAPLUS
2-Butanone, 1-[3-(3-hydroxy-1-oxopropyl)-6-methoxy-1H-indazol-1-yl]-3,3-dimethyl- (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

Searched by Jason M. Nolan, Ph.D.

(Continued)

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:143969 CAPLUS DOCUMENT NUMBER: 146:229362 Preparation of oxazine derivatives as Ep4 receptor TITLE: Preparation of oxazine derivatives as Ep4 rece agonists and antiglaucoma agents Colucci, John; Han, Yongxin; Farand, Julie A. Merck Frosst Canada Ltd., Can. PCT Int. Appl., 47pp. CODEN: FIXXD2 Patent INVENTOR (S) PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English PATENT NO. KIND DATE APPLICATION NO. DATE 2007014454 A1 20070208 W0 2006-CA1243 20060728

AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GB, GE, SS, HM, BH, HH, JD, HL, INI, SJ, JP, KE, KG, FM, KM, KF, KR, KZ, LA 1G, LK, LR, LS, LT, LU, LV, LY, MA, MM, MM, MZ, NA1, SN, NI, NO, NZ, CM, FG, FH, EH, FT, FC, NS, RU, SC, SD, SE, SG, SR, SI, SM, SY, TJ, TM, TM, TT, TZ, UA, UG, WU, NU, NUZ, CV, CV, DE, NG, SF, NG, SF, NG, FR, HM, FF, FC, CR, FM, MM, MM, MZ, NA3, CM, SK, SY, TJ, TM, TM, TT, TZ, UA, UG, CM, TT, TL, LU, LV, MC, NL, FL, TK, CR, GB, GR, HU, IE, IS, TI, LT, LU, LV, MC, NL, FL, TK, CR, SF, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, NR, NE, SN, TD, TG, BW, GH, CM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, GZ, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM KG, KZ, M PRIORITY APPLN. INFO.: US 2005-705124P OTHER SOURCE(S): MARPAT 146:229362

This invention relates to potent selective agonists of the EP4 subtype of prostaglandin E2 receptors I, wherein RI independently represents hydrogen, C1-6 alkyl, halogen, CF3, aryl, said aryl optionally substituted

II

ituted with 1 to 3 groups of halogen, C1-6 alkyl, CF3, substituted amine; R2 represents B, or halogen; R3 represents COOR or carboxylic acid isostere; n represents 0-3; their use or a formulation thereof in the treatment of glaucoma and other conditions, which are related to elevated intracoular pressure in the eye of a patient. This invention further relates to the use of the compds. of this invention for mediating the bone modeling and remodeling processes of the osteoblasts and osteoclasts. Thus, oxazine

was prepared and tested in rats as EP4 receptor agonist in osteoblastic cell

lines and in bone tissue. Effects of an EP4 agonist on intraocular pressure in rabbits and monkeys, are reported. Title compds. showed improved ocular tolerability in animal species such as rabbits and graphlers and contract the second of t

improved ocular tolerability in animal species such as rabbits a cynomolgus monkeys.

IT 691899-65-7, 1-(3-1sobutyxyl-6-methoxy-1H-indazol-1-yl)-3,3-dimethylbutan-2-one 866465-62-5, 1-[3-(3-Hydroxypropanoyl)-6-methoxy-1H-indazol-1-yl)-3,3-dimethylbutan-2-one RE: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of oxazine derivs. as EP4 receptor agonists and antiglaucoma

ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

agents) 691899-65-7 CAPLUS 2-Butanone, 1=[6-methoxy-3-(2-methyl-1-oxopropyl)-1H-indazol-1-yl]-3,3-dimethyl- (CA INDEX NAME)

866465-62-5 CAPLUS
2-Butanone, 1-[3-(3-hydroxy-1-oxopropyl)-6-methoxy-1H-indazol-1-yl]-3,3-dimethyl- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
SSION NUMBER: 2006:164871 CAPLUS
MENT NUMBER: 144:254122
E: Preparation of indexole derivatives and ophthalmic Dempositions for treating octil conservations for treating octil conserva INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE CA 2574078 EP 1771170 A1 20060223 CA 2005-2574078 EP 2005-771451 20050715 A2 20070411 20050715 NL DI 2005-80024510
CN 2006-630172
US 2006-CN4793 A A1 US 2008032951 IN 2006CN04793 20061219 20071005 PRIORITY APPLN. INFO.: 20040720 WO 2005-US25136 W 20050715

OTHER SOURCE(S): CASREACT 144:254122; MARPAT 144:254122 L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

II

Title compds. I [M, M1, M2 = CH or N; Z = N or C, when Z = N then the

between Y and Z is a single bond and between X and Y resp. represents CRI=N, CRI=CRIA, CRI=CRIA, CRIA=CRI, or N=CRI, and when Z=C then X=O or S, Y represents CRI and the bond between Y and Z is a double bond, RA and RA independently = H, OH, alkoxy, etc.; Q=unsatd. phosphonate derivative

substituted carbonyl alkyl derivative; R1 = OH, alkoxy,, unsatd.

phosphonate derivative, etc.; Rla = H, (un)substituted alkyl, cycloalkyl, etc.], and their

pharmaceutically acceptable salts, are prepared and disclosed as

potassium

rsium channel blockers suitable for ophthalmic compns. fore treatment of glaucoma and other conditions which leads to elevated intraoccular pressure in the eye of a patient. Thus, e.g., II was prepared by amidation  $\qquad \qquad \text{of } (3\text{--isobutyryl--6-methoxy-1H--indazol-1-yl}) \, \text{acetic acid (preparation of } (3\text{--isobutyryl--6-methoxy-1H--indazol-1-yl}) \, \text{acetic acid} = (3\text{--isobutyryl-6-methoxy-1H--indazol-1-yl}) \, \text{acetic acid} = (3\text{--isobutyryl-6-methoxy-1-yl}) \, \text{acetic acid} = (3\text{--isobu$ 

given)

n) with di-n-butylamine. In assays for evaluating ability to block potassium channels, I was determined to possess IC50's in the range of about 1nM

cout 20 μM. This invention also relates to the use of such compds. to provide a neuroprotective effect to the eye of mammalian species, particularly humans. 691899-65-7P 877144-26-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

actant or reagent) (preparation), Face (Preparation), Ractant or reagent)

treating

ting ocular hypertension)
691899-65-7 CAPLUS
2-Butanone, 1-[6-methoxy-3-(2-methyl-1-oxopropyl)-1H-indazol-1-yl]-3,3dimethyl- (CA INDEX NAME)

ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 877144-26-8 CAPLUS CN 2-Butanome, 1-[3-(-2bromo-2-methyl-1-oxopropyl)-6-methoxy-1H-indazol-1-yl]-3,3-dimethyl- (CA INDEX NAME)

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:1289303 CAPLUS DOCUMENT NUMBER: 144:36257

DOCUMENT NUMBER:

Preparation of substituted benzoic acid and analogs

EP4 receptor agonists for treatment of glaucoma and related diseases
Belley, Michely Colucci, John; Girard, Mario; Han,
Yongxin, Lacombe, Patrick
Merck Frosst Canada Ltd., Can.
PCT Int. Appl., 80 pp.
CODEN: PIXXD2
Patent
English
1

INVENTOR(S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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PATENT NO.																			
WO 2005116010						2005	1208		WO 2005-CA773						20050520				
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		CN, CC	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,			
		GE, GH				ID,													
		LC, LK	, LR,	LS;	LΤ,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,			
		NG, NI		NZ,	OM,	PG.	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,			
		SL, SM	, SY,	TJ,	TM,	TN,	ER,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,			
		ZA, ZM	, ZW					Market .											
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		AZ, BY	, KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE	BG,	CH,	CY,	CZ,	DE,	DK,			
		EE, ES	, FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	DE.	LU,	MC,	NL,	PL,	PT,			
		RO, SE	, SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	Gir	GN,	GQ,	GW,	ML,			
		MR, NE	, SN,	TD,	TG								A. Section						
RO, SE, SI, MR, NE, SN, PRIORITY APPLN. INFO.:									US 2	004-	5746	53P		P 39	2040	526			
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	OTHER SOURCE	(S):		MAR	MARPAT 144:36257											-	č		
GI																			

ADDITION NO

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

R1 R2 R1 R2 Ar1 /n Q

Title compds. I [Z1 = CW1, N; W1, X, W = H, amino, halo; Y = H, halo, alkoxy, etc.; R1-2 = H, halo, alkyl, etc.; R3 = R1, OH, etc.; Q =

II

carboxy,
tetrazolyl, etc.; Ar1 = Ph, pyridinyl, thienyl, etc.; Ar2 =
benzoxadiazolyl, Ph, pyridyl, etc.] are prepared For instance, II is

benzoxadiazolyl, Ph, pyridyl, etc.] are prepared For instance, II is ared in 4 steps from 3-bromo-5-chloro-2-hydroxybenzaldehyde, 3-methoxybenzyl bromide, 4-bromobenzonitrile and azidotributyltin. II has a binding affinity for the EP4 subtype of prostaglandin E2 receptor of 2.0 nM. I are useful for the treatment of glaucoma and other conditions which are related to elevated intraooular pressure in the eye of a patient. I are also used for mediating the bone modeling and remodeling processes of osteoblasts and osteoclasts.
691899-65-7, 1-(3-Isobutyryl-6-methoxy-1H-indazol-1-yl)-3,3-dimethylbutan-2-one 691901-12-9, 1-(3-Isobutyryl-6-methoxy-H-indazol-1-yl)butan-2-one 866465-62-5, 1-[3-(3-Hydroxypropanoyl)-6-methoxy-H-indazol-1-yl)-3,3-dimethylbutan-2-one RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(agonists of EP4 receptor subtype of PGE2 receptors and their use for treatment of glaucoma, other conditions and for mediating bone ling

and remodeling processes of osteoblasts and osteoclasts)
691899-65-7 CAPLUS
2-Butanone, 1-[6-methoxy-3-(2-methyl-1-oxopropyl)-1H-indazol-1-yl]-3,3dimethyl- (CA INDEX NAME)

ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) -[6-methoxy-3-(2-methyl-1-oxopropyl)-1H-indazol-1-yl]- (CA Pr-i CH2 CAPLUS
1-[3-(3-hydroxy-1-oxopropy1)-6-methoxy-1H-indazol-1-y1]-3,3-(CA INDEX NAME) dimethyl--сн2-он О || СH<sub>2</sub>— С— ви-t REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:1106800 CAPLUS DOCUMENT NUMBER: 143130/499 Preparation of disubstituted piperidinones, oxazinanones, thiazinanones, and morpholinones as EP4 receptor agonist for treatment of ocular and bone disorders. 143:387049 TITLE: disorders Billot, Xavier; Colucci, John; Han, Yongxin; Wilson, Marie-claire; Young, Robert N. INVENTOR(S): Marie-claire; Young, Robert N. Can.
U.S. Pat. Appl. Publ., 30 pp., Division of U.S. Ser. No. 297,257.
CODEN: USXXCO
Patent English 2 PATENT ASSIGNEE(S): DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. DATE APPLICATION NO. DATE US 2005227969
US 7238710
US 2004198701
US 7053085
BR 2004008690
IN 2005DN03925
IN 2005DN03925
IN 2005DN03925
PRIORITY APPLN. INFO.: 20051013 20070703 20041007 20060530 20060328 20070824 20070824 20060222 20051222 US 2005-146992 20050607 US 2004-797257 20040310 BR 2004-8690 IN 2005-DN3925 IN 2005-DN3928 MX 2005-PA10189 NO 2005-4951 US 2003-457700P 20050902 20050902 20050923 20051025 20030326 US 2004-797257 A3 20040310 WO 2004-CA471 W 20040326

OTHER SOURCE(S): MARPAT 143:387049

R SOURCE(S): MARPAT 143:387049
This invention relates to potent selective agonists of the EP4 subtype of prostaglandin E2 receptors, their use or a formulation thereof in the treatment of glaucoma and other conditions, which are related to elevated intraocular pressure in the eye of a patient. This invention further relates to the use of the compds. of this invention for mediating the

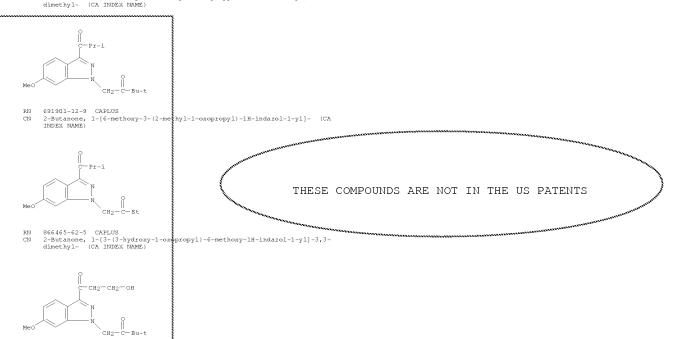
relates to the use of the compas. of this invention for mediating the bone modeling and remodeling processes of the osteoblasts and osteoclasts. In particular, this invention relates to a series of 1,6-disubstituted piperidin-2-one, 3,4-disubstituted 1,3-oxazinan-2-one, 3,4-disubstituted 1,3-thiazinan-2-one, and 4,5-disubstituted morpholin-3-one derivs. The compds. of the invention are optionally formulated with other therapeutic agents useful in treating eye disorders or in stimulating bone formation such as β-adrenergic blocking agents, carbonic anhydrase inhibitors, and bisphosphonates. Preparation schemes for the compds. of the invention are disclosed.

If 691899-65-7, 1-(3-Isobutyryl-6-methoxy-1H-indazol-1-yl)-3,3-dimethylbutan-2-one 891901-12-9, 1-(3-Isobutyryl-6-methoxy-1H-indazol-1-yl)butan-2-one 866465-62-5, 1-(3-(3-Hydroxypropanoyl)-

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
6-methoxy-1H-indazol-1-yl]-3,3-dimethylbutan-2-one
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(addnl. therapeutic aqent; prepn. of disubstituted piperidinones,
oxazinanones, thiazinanones, and morpholinones as EP4 receptor
ists for treatment of ocular and bone disorders)
691899-65-7 CAPLUS
2-Butanone, 1-[6-methoxy-3-(2-methyl-1-oxopropyl)-1H-indazol-1-yl]-3,3dimethyl- (CA INDEX NAME)



L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:414645 CAPLUS DOCUMENT NUMBER: 140:423670 Preparation of indexaler as notest potassium channel blockers for treating ocular hypertension Doherty, James B.; Chen, Meng-Hsin; Liu, Luping; Natarajan, Swaminathan R.; Tynebor, Robert M. Marchan Co. Ira USA U.S. Pat. Appl. Publ., 30 pp. CODEN: USXXCO TITLE: INVENTOR(S): DOCUMENT TYPE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE AU 2003287506 EP 1562909 A1 A1 20040603 20050817 AU 2003-287506 EP 2003-781747 20031104 A1 20050817 EP 2003-781747 20031104
BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
A 2005031 BR 2003-16040
A 20051214 CN 2003-80102578 20031104
OT T 20060309 JF 2005-507086 20031104
A 20061222 NZ 2003-539593 20031104 AT, BE, IE, SI, BR 2003016040 CN 1708484 JP 2006508190 NZ 539593 MX 2005PA04889 20050722 2005-PA4889 NO 2005002751 US 2006154897 US 2005-528982 20050815 INSTANT APPLICATION

ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS ON STN US 2007129418 A1 20070607 US 2006-64 IN 2007DN04017 A 20070831 IN 2007-DM US 2006-641212 IN 2007-DN4017 20061219 20070528 PRIORITY APPLN. INFO.: US 2002-424808P 20021108 US 2003-500091P P 20030904 US 2003-684990 20031014 WO 2003-HS35078 W 20031104 WO 2003-HS35080 W 20031104 TN 2005-DN1709 A3 20050427

OTHER SOURCE(S): MARPAT 140:423670

The title compds. [I; R = H, alkyl; X = (CHR7)p, (CHR7)pCO; Y = CO(CH2)n, CH2, CH(OR); Q = CH, C(alkyl); R2 = H, alkyl, OH, etc.; R3 = H, alkyl, heterocycylyl, etc.; QR2R3 = 3-10 membered carbocyclic or heterocyclic ring, OR; R4, R5 = H, alkoxy, OH, etc.; R6 = H, alkyl, (CH2)n(aryl),

etc.; R7 = H, alkyl, (CH2)nCO2R, (CH2)nNR2; n = 0-3; p = 0-3], useful for the treatment of glaucoma and other conditions which leads to elevated intraocular pressure in the eye of a patient, were prepared Thus,

reacting
3-benzoyl-6-methoxyindazole (preparation given) with 1-bromopinacolone

presence of NaH in DMF afforded II. The IC50 for block of maxi-K channels  $% \left( 1\right) =\left( 1\right) +\left( 1\right) +$ 

mels for the compds. I ranged from about 0.5 nM to about 10 μM. This invention also relates to the use of compds. I to provide a neuroprotective effect to the eye of mammalian species, particularly humans. Ophthalmic compns. comprising the compound I is claimed. 691899-65-7P 691901-12-9P 691901-45-8P (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes)

- ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
  (prepn. of indazoles as potent potassium channel blockers for treating ocular hypertension)
  691899-65-7 CAPLUS
  2-Butanone, 1-[6-methoxy-3-(2-methyl-1-oxopropyl)-1H-indazol-1-yl]-3,3-dimethyl- (CA INDEX NAME)

691901-12-9

CAPLUS
1-[6-methoxy-3-(2-methyl-1-oxopropyl)-1H-indazol-1-yl]- (CA 2-Butanone, INDEX NAME)

RN 691901-45-8 CAPLUS

1-[3-(2,2-dimethyl-1-oxopropyl)-6-methoxy-1H-indazol-1-yl]-3,3-dimethyl- (CA INDEX NAME)

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ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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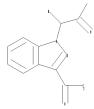
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chain nodes :
10 11 12 13 14 15 16 20
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
7-13 9-10 10-11 10-12 13-14 13-20 14-15 14-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 7-8 7-13 8-9 10-11 10-12 14-15
exact bonds :
6-9 9-10 13-14 13-20 14-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :
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G1:H,Ak

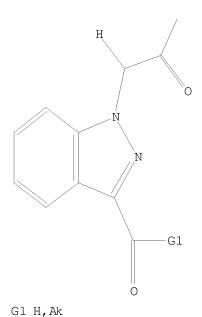
Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 20:CLASS

L5 STRUCTURE UPLOADED

=> D

L5 HAS NO ANSWERS L5 STR



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=> S L5 FULL

FULL SEARCH INITIATED 10:27:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2670 TO ITERATE

100.0% PROCESSED 2670 ITERATIONS

SEARCH TIME: 00.00.01

L6 8 SEA SSS FUL L5

=> D HIS

(FILE 'HOME' ENTERED AT 10:22:52 ON 21 FEB 2008)

8 ANSWERS

FILE 'REGISTRY' ENTERED AT 10:23:17 ON 21 FEB 2008

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 6 S L1 FULL

FILE 'CAPLUS' ENTERED AT 10:24:29 ON 21 FEB 2008

L4 8 S L3

FILE 'REGISTRY' ENTERED AT 10:27:00 ON 21 FEB 2008

L5 STRUCTURE UPLOADED

L6 8 S L5 FULL

=> FIL CAPLUS

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=> S L6

L7 8 L6

=> S L7 OR L4

L8 8 L7 OR L4

=> FIL STNGUIDE

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